

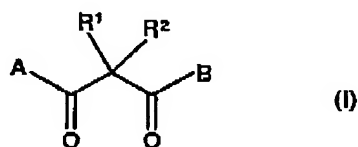
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 Patent Appl. No. 10/634,827

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 Attorney Docket No. DEAV2000/A043 US NP1

LISTING OF THE CLAIMS

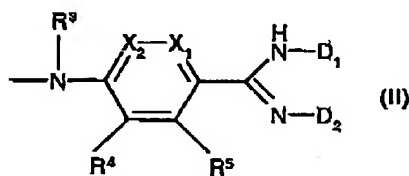
This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Previously presented): A compound of formula I,



wherein:

A is represented by formula II,



wherein:

R³ is hydrogen, -OH, or -(C₁-C₇)-alkyl;

R⁴ and R⁵, independently of one another, are

1. hydrogen;
2. -(C₁-C₇)-alkyl;
3. -OH;
4. -O-(C₁-C₇)-alkyl;
5. halogen;
6. -NH₂; or
7. -NO₂;

X₁ and X₂, independently of one another, are selected from a carbon substituted by R⁴, wherein R⁴ is as defined above, and a nitrogen, but X₁ and X₂ are not both carbon;

D₁ and D₂, independently of one another, are

1. hydrogen;
2. -C(O)-(C₁-C₇)-alkyl;
3. -C(O)-aryl;
4. -C(O)-(C₁-C₇)-alkyl-aryl;
5. -C(O)-O-(C₁-C₇)-alkyl;

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6. $-\text{C}(\text{O})-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$; or

7. $-\text{C}(\text{O})-\text{O}-(\text{C}_1-\text{C}_6)\text{-aryl}$; or

D_1 is hydrogen, when D_2 is

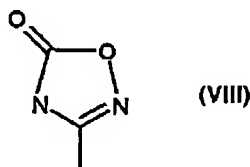
1. $-\text{OH}$;

2. $-\text{O}-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl}$;

3. $-\text{O}-\text{C}(\text{O})\text{-aryl}$; or

4. $-\text{O}-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$; or

D_1 and D_2 , together with the nitrogen to which they are attached, form a cycle of the formula VIII



- R^1 is
1. hydrogen;
 2. $-(\text{C}_1-\text{C}_7)\text{-alkyl}$;
 3. $-\text{OH}$;
 4. $-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$; or
 5. $-\text{N}(\text{R}^6)_2$, wherein R^6 is, independently of one another, hydrogen, $-\text{C}(\text{O})\text{-aryl}$, $-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$, $-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl}$, $-(\text{C}_1-\text{C}_7)\text{-alkyl}$, $-\text{C}(\text{O})\text{-N}(\text{H})\text{-aryl}$, $-\text{C}(\text{O})\text{-N}(\text{H})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$, $-(\text{C}_1-\text{C}_6)\text{-N}(\text{H})\text{-alkyl}$, $-\text{C}(\text{O})\text{-O-aryl}$, $-\text{C}(\text{O})\text{-O}-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$, $-\text{C}(\text{O})\text{-O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$, $\text{S}(\text{O}_2)\text{-aryl}$, or $-\text{S}(\text{O}_2)\text{-(C}_1\text{-C}_7)\text{-alkyl}$;

- R^2 is
1. aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by

- 1.1 $-\text{CF}_3$;
- 1.2 halogen;
- 1.3 $-\text{OH}$;
- 1.4 $-\text{CN}$;
- 1.5 sulfo;
- 1.6 $-\text{NO}_2$;
- 1.7 $-\text{NH}_2$;
- 1.8 $-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$;
- 1.9 substituted amino;

- 1.10 -COOH;
- 1.11 -(C₁-C₇)-alkyl;
- 1.12 carbamyl;
- 1.13 carbonyl;
- 1.14 alkoxycarbonyl;
- 1.15 methylenedioxy;
- 1.16 aryloxy, wherein aryloxy is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 1.17 -O-(C₁-C₇)-alkyl-aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 1.18 Het-group, wherein Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15; or
- 1.19 -(C₀-C₄)-alkyl-aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 2. hydrogen;
- 3. Het-group, wherein the Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 4. -(CH₂)_m-Y_n-(CH₂)_o-aryl, in which
 - m, n, and o are, independently of one another, 0, 1, or 2, provided that at least one of m, n, and o is not 0;
 - aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; and
 - Y is -O-, -S-, or -N-(R⁶) wherein R⁶ is hydrogen or -(C₁-C₇)-alkyl, provided n is 1, or Y is -N(R⁶)-N(R⁶)- wherein R⁶ is, independently of one another, hydrogen or -(C₁-C₇)-alkyl, or -N=N-, provided n is 2; or
- 5. -(CH₂)_m-Y_n-(CH₂)_o-Het-group, in which
 - m, n, and o are, independently of one another, 0, 1, or 2, provided that at least one of m, n, and o is not 0;
 - Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; and

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Y is as defined above; or

R¹ and R², together with the carbon to which they are bonded, form

1. a -(C₃-C₇)-cycloalkyl, wherein cycloalkyl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
2. a -(C₃-C₇)-cycloalkyl, wherein cycloalkyl is unsubstituted or mono- to disubstituted, independently of one another, and fused to an aryl- or Het-group-ring, which itself is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
3. a Het-group, wherein the Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; or
4. a keto-group, which may partially or totally exist in a hydrated state;

provided that, when R¹ is as defined above under 3, 4, or 5, then R² is not directly bonded to formula I via a oxygen-, sulfur- or nitrogen-;

B is 1. -N(R⁷)-(CH-(R⁸))_p-aryl, in which
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;

p is 0, 1, or 2;

R⁷ is

- 1.1 hydrogen;
- 1.2 -(C₁-C₇)-alkyl;
- 1.3 -OH; or
- 1.4 -N-(R⁶)₂, wherein R⁶ is, independently of one another, hydrogen or -(C₁-C₇)-alkyl;

R⁸ is

- 1.1 hydrogen;
- 1.2 -(C₁-C₇)-alkyl;
- 1.3 -(C₂-C₇)-alkenyl;
- 1.4 -(C₂-C₇)-alkynyl;
- 1.5 -(C₀-C₃)-alkyl-(C₃-C₇)-cycloalkyl;
- 1.6 -CN;

- 1.7 aryl, aryl is unsubstituted or mono- or di-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 1.8 a Het-group, wherein the Het-group is unsubstituted or mono- or di- substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 1.9 $-(CH-(R^8))_p$ forms a $-(C_3-C_7)$ -cycloalkyl derivative; or
- 1.10 $-(C_0-C_4)$ -alkyl-O- (C_1-C_7) -alkyl;
2. $-O-(CH-(R^8))_p$ -aryl, wherein aryl, R^8 , and p are as defined above;
3. $-N(R^7)-(CH-(R^8))_p$ -Het-group, wherein the Het-group is unsubstituted or mono- or di-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above, and R^7 , R^8 , and p are as defined above;
4. $-N(R^9)-N(R^9)-(CH-(R^8))_q$ -aryl, in which
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
q is 0, 1, or 2;
 R^9 and R^9 are, independently of one another, hydrogen, $-(C_1-C_7)$ -alkyl, or $-(C_1-C_3)$ -alkyl-aryl; and
 R^8 is as defined above;
5. $-O-N(R^9)-(CH-(R^8))_q$ -aryl, in which
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
q is 0, 1, or 2; and
 R^8 and R^9 are as defined above;
6. $-N(R^9)-N(R^9)-(CH-(R^8))_q$ -Het-group, in which
Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
q is 0, 1, or 2; and
 R^8 , R^9 , and R^9 are as defined above; or
7. $-O-N(R^9)-(CH-(R^8))_q$ -Het-group, in which
Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
q is 0, 1, or 2; and
 R^8 and R^9 are as defined above;

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in any stereoisomeric form or mixture thereof in any ratio, or a physiologically tolerable salt thereof.

2. (Previously presented): A compound of claim 1, wherein

A is represented by formula II, wherein

R^3 is hydrogen;

R^4 and R^5 , independently of one another, are hydrogen or halogen; and

X_1 and X_2 , independently of one another, are carbon or nitrogen, but X_1 and X_2 are not both carbon;

R^1 is hydrogen or $-(C_1-C_2)$ -alkyl;

R^2 is hydrogen, phenyl, or $-(C_1-C_2)$ -alkyl-phenyl;

B is 1. $-N(R^7)-(CH(R^8))_p$ -aryl, in which

aryl is indanyl, phenyl, tetralinyl, naphthalinyl, which are unsubstituted or mono- to di-substituted, independently of one another, by

1.1 Br, Cl, or F;

1.2 $-CF_3$;

1.3 $-NO_2$;

1.4 methylenedioxy;

1.5 $-OH$;

1.6 phenyl;

1.7 phenoxy;

1.8 benzyloxy;

1.9 $-O-(C_1-C_7)$ -alkyl-phenyl, wherein phenyl is unsubstituted or mono- to tri-substituted, independently of one another, by

1.9.1 Br, Cl, or F;

1.9.2 $-(C_1-C_4)$ -alkyl; or

1.9.3 $-NO_2$;

1.10 $-C(O)-O-(C_1-C_4)$ -alkyl;

1.11 $-O-(C_1-C_4)$ -alkyl;

1.12 $-SO_2-(C_1-C_4)$ -alkyl;

1.13 $-COOH$;

1.14 $-(C_1-C_3)$ -alkyl; or

1.15 methoxyl;

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p is 0, 1, or 2;

R⁷ is hydrogen;

R⁸ is 1.1 hydrogen;

1.2 -(C₁-C₂)-alkyl;

1.3 -CN;

1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;

1.5 -(C₀-C₂)-alkyl-O-(C₁-C₄)-alkyl;

1.6 -(CH-(R⁸))- forms a -(C₄-C₆)-cycloalkyl derivative;

1.7 cyclopropylmethyl; or

1.8 ethynyl;

2. -O-(CH-(R⁸))_p-phenyl, wherein phenyl, R⁸, and p are as defined above;

3. -N(R⁹)-N(R⁹)-(CH-(R⁸))_q-Het-group, in which

Het-group is quinoxaline, imidazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, thiazolyl, indazolyl, benzothiazolyl, indolyl, indolyl, or pyridinyl, wherein Het-group is unsubstituted or mono- to di-substituted, independently of one another, by

1.1 Br, Cl, or F;

1.2 -CF₃;

1.3 -NO₂;

1.4 methylenedioxy;

1.5 -OH;

1.6 phenyl;

1.7 phenoxy;

1.8 benzyloxy;

1.9 -O-(C₁-C₇)-alkyl-phenyl, wherein phenyl is unsubstituted or or mono- to tri-substituted, independently of one another, by

1.9.1 Br, Cl, or F;

1.9.2 -(C₁-C₄)-alkyl; or

1.9.3 -NO₂;

1.10 -C(O)-O-(C₁-C₄)-alkyl;

1.11 -O-(C₁-C₄)-alkyl;

1.12 -SO₂-(C₁-C₄)-alkyl;

1.13 -COOH;

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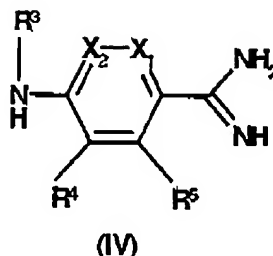
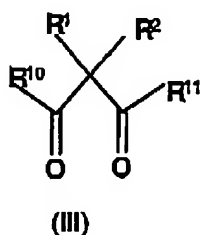
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- 1.14 $-(C_1-C_3)$ -alkyl; or
- 1.15 methoxyl;
- R^9 and R^{10} are, independently of one another, hydrogen or $-(C_1-C_2)$ -alkyl; R^8 is
 - 1.1 hydrogen;
 - 1.2 $-(C_1-C_2)$ -alkyl;
 - 1.3 -CN;
 - 1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;
 - 1.5 $-(C_0-C_2)$ -alkyl-O- (C_1-C_4) -alkyl;
 - 1.6 $-(CH-(R^8))$ - forms a $-(C_4-C_6)$ -cycloalkyl derivative;
 - 1.7 cyclopropylmethyl; or
 - 1.8 ethynyl; and
- q is 0, 1, or 2; or
- 4. $-N(R^7)-(CH_2(R^8))_p$ -Het-group², wherein the Het-group² is imidazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, thiazolyl, benzothiazolyl, indolyl, indazolyl, indolyl, or pyridinyl, wherein Het-group² is unsubstituted or mono-substituted by Br, Cl, F, -CF₃, -NO₂, phenyl, phenoxy, methyl, benzyloxy, or methoxy;
 - p is 0, 1, or 2;
 - R^7 is hydrogen;
 - R^8 is
 - 1.1 hydrogen;
 - 1.2 $-(C_1-C_2)$ -alkyl;
 - 1.3 -CN;
 - 1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;
 - 1.5 $-(C_0-C_2)$ -alkyl-O- (C_1-C_4) -alkyl;
 - 1.6 $-(CH-(R^8))$ - forms a $-(C_4-C_6)$ -cycloalkyl derivative;
 - 1.7 cyclopropylmethyl; or
 - 1.8 ethynyl

3. (Original): A process for the preparation of a compound of claim 1, comprising linking the building blocks of formulae III, IV, and V

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H-B

(V)

wherein R^{10} and R^{11} are, independently of one another, a -OH group, an acid chloride, an ester or an activated ester, or a mixed anhydride, or any other activated species resulting from the reaction of the carboxylic acid with coupling reagents, and R^1 , R^2 , R^3 , R^4 , R^5 , R^7 , R^8 , X_1 , X_2 , B, p, and aryl are as defined for formula I, by means of forming in a manner known per se an amide bond between the carboxylic acid derivative depicted in formula III and the $-NHR^3$ group depicted in formula IV and an amide bond or ester bond between the carboxylic acid derivative depicted in formula III and the -OH- or -NH- group depicted in formula V.

4. (Currently amended): A pharmaceutical preparation, comprising ~~at least one~~ one or more compound of claim 1 and a pharmaceutically acceptable carrier.
5. (Currently amended): A method for inhibiting factor VIIa, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.
6. (Currently amended): A method for inhibiting or reducing blood clotting ~~or inflammatory response~~, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.
7. (Canceled)
8. (Canceled)
9. (Currently Amended): A method for treating restenoses, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.

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10. (New) A method for inhibiting factor VIIa/TF activity comprising combining human factor VIIa and TF with one or more compounds of claim 1.